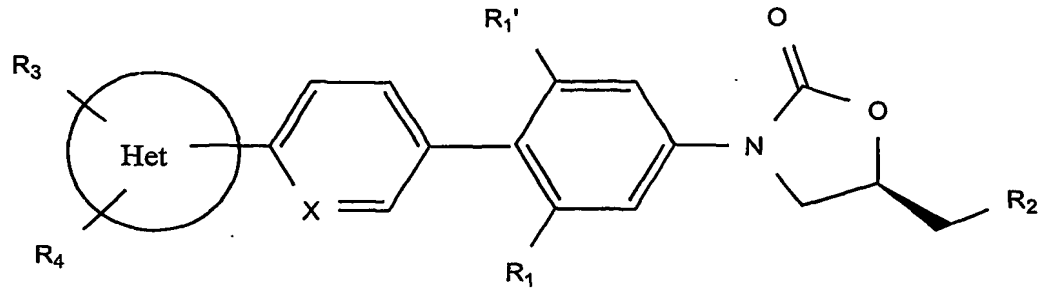
CLAIMS

1. Derivatives of oxazolidinone corresponding Formula 1, and pharmaceutically acceptable salts thereof.

<Formula. 1>



(In the Formula 1, X represents carbon or nitrogen.

R_1 and R_1' respectively represent hydrogen or fluorine.

R_2 represents $-NR_5R_6$, $-OR_7$, triazol, fluorine, alkylphosphate, monophosphate or a metal salt of phosphate;

R_5 and R_6, which are the same or different, respectively represent hydrogen, C. sub. 1-4 alkyl or acetyl; and

R_7 is hydrogen, C. sub. 1-3 alkyl or acylated amino acid. When the R_7 is acylated amino acid, amino acid refers to alanine, glycine, proline, isoleucine, leucine, phenylalanine, β-alanine or valine.

Het, which is a heterocyclic ring or a hetero aromatic ring, refers to pyrrole, furan, piperazine, piperidine, imidazole, 1,2,4-triazol, 1,2,3-triazol, tetrazole, pyrazole, pyrrolidine, oxazole, isoxazole, oxadiazole, pyridin, pyrimidine, thiazole or pyrazine.

R_3 and R_4, which are the same or different, respectively refer to hydrogen, C. sub. 1-4 alkyl group that is substituted or unsubstituted with cyano, -(CH_2)m-OR_7(m represents 0, 1, 2, 3, 4) or ketone.

2. The compound of claim 1, wherein X represents nitrogen.

3. The compound of claim 1, wherein R_1 represents hydrogen or fluorine, and R_1' represents remaining atom thereof.

4. The compound of claim 1, wherein R_2 represents -OR_7 and R_7 is hydrogen.

5. The compound of claim 1, wherein R_2 represents -OR_7 and R_7 is acylated amino acid.

6. The compound of claim 5, wherein the amino acid is one selected from the group consisting of alanine, glycine, proline, isoleucine, leucine, phenylalanine, β-alanine and valine.

7. The compound of claim 1, wherein R_2 is one selected from the group consisting of alkylphosphate, monophosphate and a metal salt of phosphate.

8. The compound of claim 1, wherein Het is tetrazole or oxadiazole.

9. The compound of claim 8, tetrazole and oxadiazole represent as mono-substituted on hydrogen with methyl.

10. The compound of claim 1, R_3 represents hydrogen or C. sub. 1-4 alkyl group that is substituted or unsubstituted with cyano, and R_4 represents remaining thereof.

11. The compound of claim 1, wherein the pharmaceutically acceptable salt is one selected from the group consisting of hydrochloric acid, bromic acid, sulfuric acid, phosphoric acid, citric acid, acetic acid, lactic acid, maleic acid, fumaric acid, gluconic acid, methane sulfonic acid, glyconic acid, succinic acid, 4-toluenesulfonic acid, trifluoroacetic acid, galuturonic acid, embonic acid, glutamic acid and aspartic acid.

12. The compound of claim 11, wherein the pharmaceutically acceptable salt is one selected from the group consisting of hydrochloric acid and trifluoroacetic acid.

13. The compound of claim 1, wherein the derivative is one selected from the group consisting of

1) (S)-3-(4-(2-(2-oxo-4-glycyloxymethylpylolidin-1-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide trifluoroacetic acid,

2) (S)-3-(4-(2-(4-glycyloxymethyl-1,2,3-triazol-1-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide trifluoroacetic acid,

3) (S)-3-(4-(2-(5-glycyloxymethylisoxazol-3-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide trifluoroacetic acid,

4) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-([1,2,4]triazol-1-yl)methyl oxazolidin-2-on,

5) (S)-3-(4-(2-(2-oxo-3-glycyloxypyrolidine-1-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide trifluoroacetic acid,

6) (S)-3-(4-(2-(5-glycyloxymethyl-[1,2,4]oxadiazole-3-yl)pyridin-5-yl)-3-

fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide trifluoroacetic acid,

7) (S)-3-(4-(2-(5-glycyloxymethyl-4,5-dihydroisoxazole-3-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide trifluoroacetic acid,

8) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-([1,2,3]triazol-2-yl)methyl oxazolidin-2-on,

9) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-([1,2,3]triazol-1-yl)methyl oxazolidin-2-on,

10) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-hydroxymethyl oxazolidin-2-on,

11) (S)-3-(4-(4-(4,5-dimethyloxazol-2-yl)phenyl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide,

12) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on trifluoroacetic acid,

13) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-([1,2,3]triazol-1-yl)methyl oxazolidin-2-on,

14) (R)-3-(4-(2-([1,2,4]triazol-1-yl)pyridin-5-yl)-3-fluorophenyl)-5-([1,2,3]triazol-1-yl)methyl oxazolidin-2-on,

15) (S)-3-(4-(2-(4,5-dimethyloxazol-2-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl acetamide,

16) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-hydroxymethyl oxazolidin-2-on,

17) (R)-3-(4-(2-[1,2,4]triazol-1-yl pyridin-5-yl)-3-fluorophenyl)-5-hydroxymethyl

oxazolidin-2-on,

18) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-fluoromethyl oxazolidin-2-on,

19) (S)-3-(4-(2-(imidazole-1-yl)pyridin-5-yl)-3-fluorophenyl)-5-aminomethyl oxazolidin-2-on hydrochloride,

20) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-valyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

21) (R)-3-(4-(4-(4,5-dimethyloxazol-2-yl)phenyl)-3-fluorophenyl)-5-hydroxymethyl oxazolidin-2-on,

22) (R)-3-(4-(2-([1,2,3]triazol-1-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on trifluoroacetic acid,

23) (R)-3-(4-(4-(4,5-dimethyloxazol-2-yl)phenyl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on trifluoroacetic acid,

24) (R)-3-(4-(2-([1,2,3]triazol-1-yl)pyridin-5-yl)-3-fluorophenyl)-5-hydroxymethyl oxazolidin-2-on,

25) (S)-3-(4-(2-([1,2,3]triazol-2-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide,

26) (S)-3-(4-(4-(4(S)-hydroxymethyl-4,5-dihydroxazole-2-yl)phenyl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide,

27) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazole-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on trifluoroacetic acid,

28) (S)-3-(4-(4-(4-hydroxymethylthiazol-2-yl)phenyl)-3-fluorophenyl)-2-oxo-5-

oxazolidinylmethyl acetamide,

29) (R)-3-(4-(2-([1,2,3]triazol-2-yl)pyridin-5-yl)-3-fluorophenyl)-5-hydroxymethyl oxazolidin-2-on,

30) (S)-3-(4-(4-(4-glycyloxymethylthiazol-2-yl)phenyl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide trifluoroacetic acid,

31) (S)-3-(4-(4-(4-cyanomethyl thiazol-2-yl)phenyl)-3-fluorophenyl)-2-oxo-5-oxazolidinylmethyl acetamide,

32) (R)-3-(4-(4-(4-cyanomethyl thiazol-2-yl)phenyl)-3-fluorophenyl)-5-hydroxymethyl oxazolidin-2-on,

33) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-methoxymethyl oxazolidin-2-on,

34) (R)-3-(4-(4-(4-cyanomethyl thiazol-2-yl)phenyl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on trifluoroacetic acid,

35) (R)-3-(4-(2-([1,2,3]triazol-2-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on trifluoroacetic acid,

36) (R)-3-(4-(4-(4-hydroxymethyl thiazol-2-yl)phenyl)-3-fluorophenyl)-5-([1,2,3]triazol-1-yl)methyl oxazolidin-2-on,

37) (R)-3-(4-(4-(4-glycyloxymethyl thiazol-2-yl)phenyl)-3-fluorophenyl)-5-([1,2,3]triazol-1-yl)methyl oxazolidin-2-on trifluoroacetic acid,

38) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3,5-difluorophenyl)-5-hydroxymethyl oxazolidin-2-on,

39) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3,5-difluorophenyl)-

5-hydroxymethyl oxazolidin-2-on,

40) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(N,N-dimethylaminomethyl)oxazolidin-2-on,

41) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(N-methylaminomethyl)oxazolidin-2-on,

42) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-alanyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

43) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-valyloxy)methyl oxazolidin-2-on hydrochloride,

44) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-alanyloxy)methyl oxazolidin-2-on hydrochloride,

45) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on hydrochloride,

46) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-prolinyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

47) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-prolinyloxy)methyl oxazolidin-2-on hydrochloride,

48) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on hydrochloride,

49) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(β-alanyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

50) (R)-3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(β-

alanyloxy)methyl oxazolidin-2-on hydrochloride,

51) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-alanyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

52) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-alanyloxy)methyl oxazolidin-2-on hydrochloride,

53) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-valyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

54) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-valyloxy)methyl oxazolidin-2-on hydrochloride,

55) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-prolinyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

56) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-prolinyloxy)methyl oxazolidin-2-on hydrochloride,

57) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(β-alanyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

58) (R)-3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(β-alanyloxy)methyl oxazolidin-2-on hydrochloride,

59) (R)-[3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl disodiumphosphate,

60) (R)-[3-(4-(2-(2-methyl-[1,3,4]oxadiazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl disodiumphosphate,

61) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-

hydroxymethyl oxazolidin-2-on,

62) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on trifluoroacetic acid,

63) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-glycyloxymethyl oxazolidin-2-on hydrochloride,

64) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-alanyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

65) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-alanyloxy)methyl oxazolidin-2-on hydrochloride,

66) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-valyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

67) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(L-valyloxy)methyl oxazolidin-2-on hydrochloride,

68) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(β-alanyloxy)methyl oxazolidin-2-on trifluoroacetic acid,

69) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-(β-alanyloxy)methyl oxazolidin-2-on hydrochloride,

70) (R)-[3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl disodiumphosphate,

71) (R)-3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-5-([1,2,3]triazol-1-yl)methyl oxazolidin-2-on,

72) mono-[(R)-[3-(4-(2-(2-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-

5-oxazolidinyl]methyl] phosphate, and

73) mono-[(R)-[3-(4-(2-(1-methyltetrazol-5-yl)pyridin-5-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl] phosphate.

14. A method of preparing derivatives of oxazolidinone comprising;

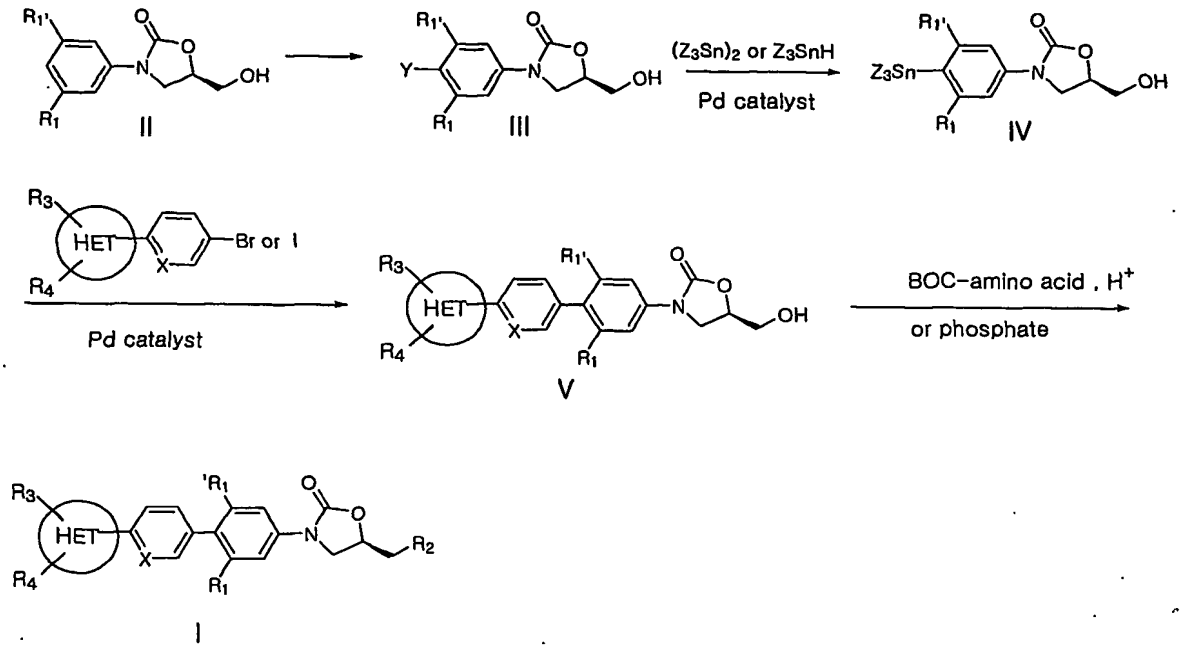
substituting a halogen atom for a hydrogen atom on phenyl of a derivative(II) of hydroxymethyloxazolidinone thereby to form a derivative(III)(Step 1);

substituting stannyl for a halogen atom(Y) of the derivative(III) to form a derivative(IV)(Step 2);

reacting the derivative(IV) with pyridine or phenyl derivative that is substituted to bromine or iodine, to form a derivative(V) of oxazolidinone having pyridine ring or phenyl ring(Step 3); and

reacting the derivative(V) with amino acid having a protecting group and then with acid thereby to eliminate the protecting group and to form salts of the compounds corresponding to Formula 1, or subjecting the derivative(V) to react with phosphate and then with metallic salt thereby to form salts of the compounds corresponding to Formula 1(Step 4).

<Scheme 1>



In the Scheme 1, Z represents C. sub. 1~4 alkyl group, X, R_1, R_1', R_2, R_3 and R_4 are as defined in Formula 1 and Y represents halogen.

15. The method of claim 14, wherein the halogen atom is an iodine atom in the Step 1.

16. A pharmaceutical composition comprising derivatives of oxazolidinone corresponding Formula 1, and pharmaceutically acceptable salt thereof for use in an antibiotic.